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 coverage of complete UK patent families

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,  
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10/537,495

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L1 STRUCTURE UPLOADED

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SEARCH TIME: 00.00.01		

L3 2 SEA SSS FUL L1

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FULL SEARCH INITIATED 15:50:32 FILE 'REGISTRY'  
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L4 1 SEA SSS FUL L2

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FILE COVERS 1907 - 15 Dec 2008 VOL 149 ISS 25  
FILE LAST UPDATED: 14 Dec 2008 (20081214/ED)

Caplus now includes complete International Patent Classification (IPC)  
reclassification data for the third quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply.

McIntosh

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=> s 13

L5 1 L3

=> s 14

L6 1 L4

=> s 15 or 16

L7 2 L5 OR L6

=> d bib abs hitstr 1-2 17

L7 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:568609 CAPLUS

DN 141:117169

TI Human SGLT1 inhibitors containing benzylphenyl glucopyranoside or

galactopyranoside derivatives

IN Yonekubo, Shigeru; Shimizu, Kazuo; Shibasaki, Toshinide; Tomae, Masaki;

Tajiri, Masayuki

PA Kissei Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 90 pp.

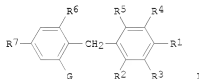
CODEN: JKXKXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2004196798	A	20040715	JF 2003-404247	20031203
PRAI	JP 2002-352251	A	20021204		
OS	MARPAT 141:117169				
GI					



AB The invention provides human glucose-sodium cotransporter (SGLT1) inhibitors containing benzylphenol derivative represented by the following general formula I. [R1 = OH, Cl-6 alkyl, Cl-6 alkoxy, Cl-6 alkylthio, hydroxy(Cl-6 alkyl), etc.; R2 = H, Cl-6 alkyl, Cl-6 alkoxy, phenoxy, phenylthio, phenylamino, halogen; R3, R4, R5 = H, Cl-6 alkyl, Cl-6 alkoxy, halogen; R6 = H, Cl-6 alkyl, R7 = H, OH, amino, mono/di(Cl-6 alkyl)amino, Cl-6 alkyl, Cl-6 alkoxy, hydroxy(Cl-6 alkyl), carbamoyl(Cl-6 alkyl); G =  $\beta$ -D-glucopyranosyl,  $\beta$ -D-galactopyranosyl and pharmacol. acceptable salts or prodrugs thereof. A compound

5-hydroxy-3-methyl-2-[4-[(E)-2-[2-(sulfonylamino)ethylcarbamoyl]vinyl]benzyl]phenyl  $\beta$ -D-glucopyranoside was prepared, and tested for its effect on human SGLT1 activity in vitro, and on blood glucose level in rats.

IT 721969-17-1P

RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

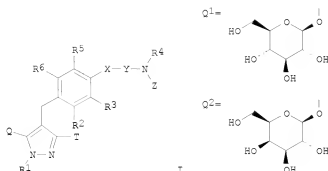
(human SGLT1 inhibitors containing benzylphenyl glucopyranoside or galactopyranoside derivs.)

RN 721969-17-1 CAPLUS

CN Urea, N-[4-[(2-( $\beta$ -D-glucopyranosyloxy)-4-hydroxy-6-methylphenyl)methyl]phenyl]-N'-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





AB Pyrazole derivs. represented by the general formula (I) [R1 = H, C1-6 alkyl, C2-6 alkenyl, hydroxy-C2-6 alkyl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-6 alkyl, each (un)substituted aryl or aryl-C1-6 alkyl; one of Q and T = Q1 or Q2 and the other = C1-6 alkyl, halo-C1-5 alkyl, C1-6 alkoxy-C1-6 alkyl, C3-7 cycloalkyl; R2 = H, halo, OH, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, halo-C1-6 alkyl, halo-C1-6 alkoxy, C1-6 alkoxy-C1-6 alkoxy, C3-7 cycloalkyl-C2-6 alkoxy, etc.; X = a single bond, O, S; Y = optionally hydroxy-substituted C1-6 alkylene or C2-6 alkenylene; Z = RB, CORC, SO2RC, CO(RD)RE, SO2NHRF, C(=NRG)N(RH)RI; wherein RC = each (un)substituted aryl, heteroaryl, or C1-6 alkyl; R4, RB, RD, RE, RF = H, each (un)substituted aryl, heteroaryl, or C1-6 alkyl; NR4RB or NR4RE together forms (un)substituted C2-6 cyclic amino; RG, RH, RI = H, (un)substituted C1-6 alkyl, etc.; R3, R5, R6 = H, halo, C1-6 alkyl, C1-6 alkoxy] or pharmacol. acceptable salts thereof are prepared. These compds. have excellent human SGLT1 inhibitory activity and are useful as preventives or therapeutic agents for diseases attributable to hyperglycemia such as diabetes, impaired glucose tolerance, fasting blood sugar abnormality, complications of diabetes, obesity, hyperinsulinemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, lipid metabolism disorder, atherosclerosis, hypertension, ischemic heart failure, edema, hyperuricemia, and gout and for diseases attributable to an increased blood galactose level such as galactosemia. For example, 3-(β-D-glucopyranosyloxy)-4-[[4-[3-[3-(2-hydroxy-1,1-dimethylethyl)ureido]propoxy]-2-methylphenyl]methyl]-5-isopropyl-1H-pyrazole in vitro inhibited the uptake of [14C]methyl α-D-glucopyranoside in CHO-K1 cells expressing human SGLT1 with IC50 of 19 nM. For another example, 3-(β-D-glucopyranosyloxy)-4-[[4-(2-guanidinoethoxy)-2-methylphenyl]methyl]-5-isopropyl-1H-pyrazole at 1 mg/kg p.o. lowered the serum glucose concentration from 303±63 (control) to 165±17 mg/dl after 1 h in rats with streptozotocin-induced diabetes.

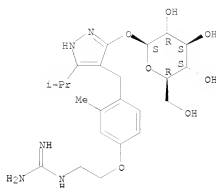
II R1: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzylpyrazolyl glucopyranosides and galactopyranosides as sodium-glucose cotransporter (SGLT1) inhibitors for prevention or treatment of diseases attributable to hyperglycemia or galactosemia)

RN 666842-40-6 CAPLUS

CN Guanidine, 2-[[4-[[3-(β-D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy]ethyl]- (9CI) (CA INDEX NAME)

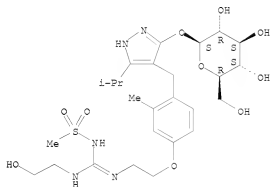
Absolute stereochemistry.



RN 666842-61-1 CAPLUS

CN Methanesulfonamide, N-[[[2-[4-[[3-(β-D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl)methyl]-3-methylphenoxy]ethyl]amino] [(2-hydroxyethyl)amino]methyl]ene]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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